I Number	Hits	Hits Search Text	DB	Time stamp
1	2273	2273 ("514/183, 266.1, 258.1, 266.4"). CCLS	USPAT	2004/02/27 11:25
2	1496	("544/283,242,293").CCLS	USPAT	2004/02/27 11:26
м	164	164 (("514/183, 266.1, 258.1, 266.4"). CCLS) and (("544/283, 242, 293"). CCLS)	USPAT	2004/02/27 11:26
4	112	(("514/183,266.1,258.1,266.4").CCLS) and (("544/283,242,293").CCLS)) and	USPAT	2004/02/27 11:26
		quinazoline		
വ	17	3,266.1,258.1,266.4").CCLS) and (("544/283,242,293").CCLS)) and	USPAT	2004/02/27 11:28
		quinazoline) and tetrahydro		
9		((("514/183,266.1,258.1,266.4").CCLS) and (("544/283,242,293").CCLS))	USPAT	2004/02/27 11:27
		and quinazoline) and tetrahydro) and cGMP		
. 7	0	0 (((("514/183,266.1,258.1,266.4").CCLS) and (("544/283,242,293").CCLS))	USPAT	2004/02/27 11:28
		and quinazoline) and tetrahydro) and 2-phenyl		

I Number	Hits Search Text	DB	Time stamp
, -1	100 quinazoline and cGMP	USPAT	2004/02/27 11:19
2	0 (quinazoline and cGMP) and tetrahydo	USPAT	2004/02/27 11:20
m	4 tetrahydroquinazoline and cGMP	USPAT	2004/02/27 11:20

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I Number	Hits	Hits Search Text	DB	Time stamp
18	13789	13789 (cGMP and Prevention and preventing diseases) and diabetes	USPAT	2004/02/27 14:29
19	401	401 ((cGMP and Prevention and preventing diseases) and diabetes) and	USPAT	2004/02/27 14:29
	_	quinazoline		
20	18	((cGMP and Prevention and preventing diseases) and diabetes) and	USPAT	2004/02/27 14:31
	_	quinazoline) and 2-phenyl		
21	409	(cGMP and Prevention and preventing diseases) and tetrahydro and	USPAT	2004/02/27 14:32
		quinazoline		
22	1547	1547 ((cGMP and Prevention and preventing diseases) and diabetes) and	USPAT	2004/02/27 14:33
	_	tetrahydro		
23	125	125 (((cGMP and Prevention and preventing diseases) and diabetes) and	USPAT	2004/02/27 14:37
		tetrahydro) and quinazoline		
24	27	27 ((cGMP and Prevention and preventing diseases) and diabetes) and	USPAT	2004/02/27 14:37
		tetrahydroquinazoline		

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10674350.1
                 Page 4
=> s cGMP and treating
          198 CGMP AND TREATING
=> s cGMP and preventing
          147 CGMP AND PREVENTING
L3
=> s 12 and 13
           24 L2 AND L3
T.4
=> s 14 and stroke
L5
            3 L4 AND STROKE
=> s 14 and diabetes
             7 L4 AND DIABETES
L6
=> sl4 and learning power
SL4 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).
=> s 14 and learning power
L7
             0 L4 AND LEARNING POWER
=> s 14 and asthma
L8
             2 L4 AND ASTHMA
=> s 14 and erectile function
            0 L4 AND ERECTILE FUNCTION
=> a 14 and hypertensiopn
A IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).
=> s 14 and quinazoline
            1 L4 AND QUINAZOLINE
=> s 14 and tetrahydro and quinazoline
             0 L4 AND TETRAHYDRO AND QUINAZOLINE
=> d l10 fbib hitstr abs total
L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2001:916407 CAPLUS
DN
     136:53755
     Synthesis of nitrosated and nitrosylated (hetero)cyclic phosphodiesterase
     inhibitors used in treatment of sexual dysfunction
IN
     Garvey, David S.; Saenz de Tejada, Inigo; Earl, Richard A.; Khanapure,
     Subhash P.
    Nitromed, Inc., USA
PA
SO
    U.S., 117 pp., Cont.-in-part of U.S. 5,958,926.
     CODEN: USXXAM
DT
    Patent
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APPLICATION NO. DATE

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English

PATENT NO.

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	US 200201940	5	A1	20020214	US 2001-941691 20010830
	US 6462044		B2	20021008	
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					WO 1997-US19870W 19971031
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	US 200302308	7	A1	20030130	US 2002-216886 20020813
	05 200302300	,	AT.	20030130	US 1996-740764 A219961101
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					US 1999-387727 A119990901
					US 2001-941691 A320010830
FAN	1999:622282				
	PATENT NO.		CIND	DATE	APPLICATION NO. DATE
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ΡI	US 5958926		A	19990928	US 1998-145142 19980901 US 1996-740764 A219961101
	US 5874437		A	19990223	US 1996-740764 AZ19961101 US 1996-740764 19961101
	US 6133272		A	20001017	US 1999-241281 19990201
				- -	US 1996-740764 A219961101

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US	6172060	B1 20010	0109	WO 1997-US19870A219971031 US 1998-145142 A319980901 US 1999-247296 19990210 US 1996-740764 A219961101 WO 1997-US19870A219971031
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US	6211179	B1 2001	0403	US 1998-145142 A319980901 US 1999-347426 19990706 US 1996-740764 A219961101 WO 1997-US19870A219971031
CA	2339189	AA 2000	0309	US 1998-145142 A319980901 CA 1999-2339189 19990901 US 1998-145142 A 19980901
ŴΟ	CZ, DE, IN, IS, MG, MK, SL, TJ,	DK, DM, EE, JP, KE, KG, MN, MW, MX, TM, TR, TT,	AZ, BA, ES, FI, KP, KR, NO, NZ, UA, UG,	WO 1999-US20024W 19990901 WO 1999-US20024 19990901 BB, BG, BR, BY, CA, CH, CN, CR, CU, GB, GD, GE, GH, GM, HR, HU, ID, IL, KZ, LC, LK, LR, LS, LT, LU, LV, MD, PL, PT, RO, RU, SD, SE, SG, SI, SK, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY,
	RW: GH, GM, ES, FI,	FR, GB, GR,	SD, SL, IE, IT,	SZ, UG, ZW, AT, BE, CH, CY, DE, DK, LU, MC, NL, PT, SE, BF, BJ, CF, CG, NE, SN, TD, TG
	9961334	A1 2000		US 1998-145142 A 19980901 AU 1999-61334 19990901 US 1998-145142 A 19980901 WO 1999-US20024W 19990901
EP		A1 2001 CH, DE, DK, LT, LV, FI,	ES, FR,	EP 1999-948093 19990901 GB, GR, IT, LI, LU, NL, SE, MC, PT,

10674350.1	Page 8		
			US 1998-145142 A 19980901 WO 1999-US20024W 19990901
US 6331543	B1	20011218	US 1999-387727 19990901
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			WO 1999-US20024W 19990901
US 200201940	5 A1	20020214	US 2001-941691 20010830
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			US 1999-387727 A119990901
US 200302308	7 A1	20030130	US 2002-216886 20020813
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			WO 1997-US19870A219971031
			US 1998-145142 A219980901
			US 1999-387727 A119990901
			US 2001-941691 A320010830
OS MARPAT 136:5	3755		

GI

AB Compds. I-V, derivs. thereof, and certain substituted Ph and phthalzaine derivs. were claimed [D2 = H, alkyl, D; D = NO, NO2, alkyl, acyl, phosphoryl, silyl, etc.; A1-3 comprise the other subunits of a 5- or 6-membered monocyclic aromatic ring; R8 = H, (halo)alkyl; p = 1-10; R24 = H, cyclohexyl, piperidinyl, etc., with the proviso that at least one of A1-3, J, or R24 contains T-Q or D; T = bond, O, S(O), amino; Q = NO, NO2; D1 = D or H; R37 = (hetero)aryl; R38 = H, halo, alkyl; G1 = alkyl, alkenyl or is part of a ring fused to the piperidine moiety of III; G4 = O, S; R40 = H, alkyl, haloalkyl, halo, etc.; R41 = alkyl, hydroxyalkyl, alkylcarboxy, etc.; R42 = aryl, alkylaryl, alkyloxyaryl; T1 = alkyl, oxyalkyl, thioalkyl, aminoalkyl]. Two synthetic examples were provided. E.g., the S-nitroso derivative of the 3-mercapto-3-methylbutyric acid ester of dipyridamole (VI) was prepared in 4 steps from dipyridamole in 3.5% overall yield. VI at doses of 10 and 30 μM was more efficacious in relaxing phenylephrine-induced tissue contraction than was the known phosphodiesterase inhibitor, dipyridamole. The present invention describes novel (nitrosated/nitrosylated) phosphodiesterase inhibitors, and compns. containing at least one (nitrosated/nitrosylated) phosphodiesterase inhibitor, and, optionally, one or more compds. that donate, transfer or release NO, elevate endogenous levels of endothelium-derived relaxing factor, stimulate endogenous synthesis of NO, or is a substrate for nitric oxide synthase and/or one or more vasoactive agents. The present invention also provides methods for treating or preventing sexual dysfunctions in males and females, for enhancing sexual responses in males and females, and for treating or preventing diseases induced by the increased metabolism of cGMP, such as hypertension, pulmonary hypertension, etc. THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS RECORD

Patel <2/27/2004>

ALL CITATIONS AVAILABLE IN THE RE FORMAT

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

I Number	Hits	Hits Search Text	DB	Time stamp
18	13789	13789 (cGMP and Prevention and preventing diseases) and diabetes	USPAT	2004/02/27 14:29
19	401	$\{0,1\}$ ((cGMP and Prevention and preventing diseases) and diabetes) and	USPAT	2004/02/27 14:29
		quinazoline		
20	18	(((cGMP and Prevention and preventing diseases) and diabetes) and	USPAT	2004/02/27 14:31
		quinazoline) and 2-phenyl		
21	409	409 \mid (cGMP and Prevention and preventing diseases) and tetrahydro and	USPAT	2004/02/27 14:32
		guinazoline		
22	1547	1547 ((cGMP and Prevention and preventing diseases) and diabetes) and	USPAT	2004/02/27 14:33
		tetrahydro		
23	125	125 (((cGMP and Prevention and preventing diseases) and diabetes) and	USPAT	2004/02/27 14:37
		tetrahydro) and quinazoline		
24	27	27 ((cGMP and Prevention and preventing diseases) and diabetes) and	USPAT	2004/02/27 14:37
		tetrahydroquinazoline		

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PASSWORD:

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NEWS
                  "Ask CAS" for self-help around the clock
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NEWS
      3
         SEP 09
                 CA/CAplus records now contain indexing from 1907 to the
                  present
NEWS
         DEC 08
                 INPADOC: Legal Status data reloaded
NEWS
      5
         SEP 29
                 DISSABS now available on STN
NEWS
      6
         OCT 10
                 PCTFULL: Two new display fields added
NEWS
      7
         OCT 21
                 BIOSIS file reloaded and enhanced
NEWS
         OCT 28
                 BIOSIS file segment of TOXCENTER reloaded and enhanced
      9
         NOV 24
                 MSDS-CCOHS file reloaded
NEWS
NEWS 10
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                 CABA reloaded with left truncation
NEWS 11
         DEC 08
                 IMS file names changed
NEWS 12
         DEC 09
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NEWS 13
         DEC 09
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NEWS 14
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NEWS 15
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NEWS 16
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                  available
NEWS 17
         DEC 22
                 Additional INPI reactions and pre-1907 documents added to CAS
                  databases
NEWS 18
         DEC 22
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NEWS 19
         DEC 22
                 ABI-INFORM now available on STN
NEWS 20
         JAN 27
                 Source of Registration (SR) information in REGISTRY updated
                  and searchable
NEWS 21
         JAN 27
                 A new search aid, the Company Name Thesaurus, available in
                  CA/CAplus
NEWS 22
         FEB 05
                 German (DE) application and patent publication number format
                  changes
NEWS EXPRESS
              DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT
              MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
              AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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              CAS World Wide Web Site (general information)
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10674350.1 Page 2

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ILE IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> file reg

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FULL ESTIMATED COST

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> Uploading c:\program files\stnexp\queries\10610700.1

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full FULL SEARCH INITIATED 10:47:08 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 684139 TO ITERATE

58.5% PROCESSED 400000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.13

968 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 684139 TO 684139
PROJECTED ANSWERS: 1533 TO 1777

L2 968 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 155.42 155.63

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FILE COVERS 1907 - 27 Feb 2004 VOL 140 ISS 10 FILE LAST UPDATED: 26 Feb 2004 (20040226/ED)

This file contains CAS Registry Numbers for easy and accurate

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10674350.1
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Page 4

substance identification.

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=> s 12
            60 L2
L3
=> s 13 and 2-phenyl and 2-aryl
             1 L3 AND 2-PHENYL AND 2-ARYL
=> d l4 fbib hitstr abs total
     ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
L4
     2003:221342 CAPLUS
AN
DN
     139:101096
     Synthesis and antiinflammatory screening of some quinazoline and
ΤI
     quinazolyl-4-oxoquinazoline derivatives
     Gineinah, Magdy M.; El-Sherbeny, Magda A.; Nasr, Magda N.; Maarouf, Azza
ΑU
     Pharmaceutical Organic Chemistry, College of Pharmacy, Mansoura
CS
     University, Mansoura, 35516, Egypt
     Archiv der Pharmazie (Weinheim, Germany) (2003), Volume Date 2002,
SO
     335(11-12), 556-562
     CODEN: ARPMAS; ISSN: 0365-6233
PB
     Wiley-VCH Verlag GmbH & Co. KGaA
DT
     Journal
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     English
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IT
     561065-22-3P 561065-23-4P 561065-24-5P
     561065-25-6P 561065-29-0P 561065-30-3P
     561065-31-4P 561065-35-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
     (Biological study); PREP (Preparation)
        (preparation and antiinflammatory activity of [biquinazoline] diones,
        [(thioxo)biquinazolin]ones and [1,2,4]triazolo[4,3-
        a]quinazolinyl]quinazolinones)
     561065-22-3 CAPLUS
RN
CN
     [1(2H),2'-Biquinazoline]-2,4(3H)-dione, 4'-(4-methyl-1-piperazinyl)-3-
     phenyl- (9CI) (CA INDEX NAME)
```

RN 561065-23-4 CAPLUS

CN [1(4H),2'-Biquinazolin]-4-one, 2,3-dihydro-4'-(4-methyl-1-piperazinyl)-3-phenyl-2-thioxo-(9CI) (CA INDEX NAME)

RN 561065-24-5 CAPLUS

CN [1(2H),2'-Biquinazoline]-2,4(3H)-dione, 3-phenyl-4'-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 561065-25-6 CAPLUS

CN [1(4H),2'-Biquinazolin]-4-one, 2,3-dihydro-3-phenyl-4'-(4-phenyl-1-piperazinyl)-2-thioxo-(9CI) (CA INDEX NAME)

RN 561065-29-0 CAPLUS

CN [1(4H),2'-Biquinazolin]-4-one, 2-(4-chlorophenyl)-2,3-dihydro-4'-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 561065-30-3 CAPLUS

CN [1(4H),2'-Biquinazolin]-4-one, 2-(3,4-dimethoxyphenyl)-2,3-dihydro-4'-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

10674350.1

Page 7

RN 561065-31-4 CAPLUS

CN [1(4H),2'-Biquinazolin]-4-one, 2,3-dihydro-4'-(4-methyl-1-piperazinyl)-2-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 561065-35-8 CAPLUS

CN [1(4H),2'-Biquinazolin]-4-one, 2,3-dihydro-2-(3-nitrophenyl)-4'-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

Page 8

IT 561065-28-9P 561065-32-5P 561065-33-6P 561065-34-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antiinflammatory activity of [biquinazoline]diones, [(thioxo)biquinazolin]ones and [1,2,4]triazolo[4,3-a]quinazolinyl]quinazolinones)

RN 561065-28-9 CAPLUS

CN [1(4H),2'-Biquinazolin]-4-one, 2,3-dihydro-4'-(4-methyl-1-piperazinyl)-2-phenyl- (9CI) (CA INDEX NAME)

RN 561065-32-5 CAPLUS

CN [1(4H),2'-Biquinazolin]-4-one, 2,3-dihydro-2-phenyl-4'-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

Patel

RN 561065-33-6 CAPLUS

CN [1(4H),2'-Biquinazolin]-4-one, 2-(4-chlorophenyl)-2,3-dihydro-4'-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 561065-34-7 CAPLUS

CN [1(4H),2'-Biquinazolin]-4-one, 2-(3,4-dimethoxyphenyl)-2,3-dihydro-4'-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

Synthesis of some new derivs. of 2-aryl AΒ -4-oxo-1-(4-quinazolyl)quinazolines is described. Me N-(4quinazolyl)anthranilate was allowed to react with Ph iso(thio)cyanate to qive 3-phenyl-1-(4-quinazolyl)-1,2,3,4-tetrahydro-2,4-dioxo-and 4-oxo-2-thioxoquinazolines. Alternatively, anthranilic acid amide derivs. were subjected to cyclization with aromatic aldehydes to give 2aryl-4-oxo-1-(4-quinazolyl)-1,2,3,4-tetrahydroquinazolines. On the other hand, 2-chloro-4-(4-substituted 1-piperazinyl)quinazoline derivs. were subjected to the same type of reactions at the 2-position to afford the corresponding quinazoline derivs. Furthermore, an acid amide was cyclized with acid chlorides to give the corresponding 2aryl-1-(2-chloro-4-quinazolyl)-4-oxo-1,4-dihydroquinazolines, from which triazoloquinazoline derivs. were synthesized through an intermediate hydrazine derivs. Most of the newly synthesized compds. were tested for their antiinflammatory activities. However, some of the novel compds. were found to exhibit good antiinflammatory potencies. Compds. thus prepared included 2,3-dihydro-3-phenyl-2-thioxo[1(4H),4'-biquinazolin]-4one, 3-phenyl[1,4'(1H,3'H)-biquinazoline]-2,4'-dione, 2,3-dihydro-2-phenyl[1(4H),4'-biquinazolin]-4-one, 2'-chloro-2-(3-chlorophenyl)[1(4H),4'-biquinazolin]-4-one, 2'-chloro-2-(4-bromophenyl)[1(4H),4'-biquinazolin]-4-one, 2-(3-chlorophenyl)-1-[1-(3-nitrophenyl)[1,2,4]triazolo[4,3-a]quinazolin-4yl] -4 (1H) quinazolinone, 2-(4-bromophenyl) -1-[1-(3nitrophenyl)[1,2,4]triazolo[4,3-a]quinazolin-4-yl]-4(1H)quinazolinone, etc.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

L1

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FILE 'REGISTRY' ENTERED AT 10:46:42 ON 27 FEB 2004 STRUCTURE UPLOADED

L2 968 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:47:27 ON 27 FEB 2004 L3 60 S L2

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                 "Ask CAS" for self-help around the clock
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                CA/CAplus records now contain indexing from 1907 to the
                present
NEWS 4
        DEC 08
                INPADOC: Legal Status data reloaded
NEWS
        SEP 29 DISSABS now available on STN
        OCT 10 PCTFULL: Two new display fields added
NEWS
     6
NEWS 7
        OCT 21 BIOSIS file reloaded and enhanced
        OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 8
        NOV 24
     9
                MSDS-CCOHS file reloaded
NEWS
NEWS 10 DEC 08
                CABA reloaded with left truncation
NEWS 11
        DEC 08
                 IMS file names changed
NEWS 12
        DEC 09
                 Experimental property data collected by CAS now available
                 in REGISTRY
NEWS 13
        DEC 09
                 STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 14
        DEC 17
                 DGENE: Two new display fields added
NEWS 15
         DEC 18
                 BIOTECHNO no longer updated
                 CROPU no longer updated; subscriber discount no longer
NEWS 16
        DEC 19
                 available
                Additional INPI reactions and pre-1907 documents added to CAS
NEWS 17
        DEC 22
                 databases
NEWS 18
        DEC 22
                 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19
        DEC 22
                ABI-INFORM now available on STN
NEWS 20
        JAN 27
                 Source of Registration (SR) information in REGISTRY updated
                 and searchable
         JAN 27
NEWS 21
                A new search aid, the Company Name Thesaurus, available in
                 CA/CAplus
NEWS 22
        FEB 05
                German (DE) application and patent publication number format
                 changes
NEWS EXPRESS
              DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT
              MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
              AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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=>

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L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 Cb,Cy

10674350.1 Page 3

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full FULL SEARCH INITIATED 10:28:31 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 684139 TO ITERATE

58.5% PROCESSED 400000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.09

968 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS:

684139 TO 684139

PROJECTED ANSWERS:

1533 TO 1777

L2 968 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION 155.42 155.63

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FILE COVERS 1907 - 27 Feb 2004 VOL 140 ISS 10 FILE LAST UPDATED: 26 Feb 2004 (20040226/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 60 L2

=> s 13 and piperidine

L4 12 L3 AND PIPERIDINE

=> s 13 and morpholine and thiomorpholine

L5 4 L3 AND MORPHOLINE AND THIOMORPHOLINE

=> s 13 and thiomorpholine

L6 4 L3 AND THIOMORPHOLINE

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10674350.1
                       Page 4
=> s 13 and morpholine
            15 L3 AND MORPHOLINE
=> s 16 and 17
             4 L6 AND L7
=> d his
     (FILE 'HOME' ENTERED AT 10:27:49 ON 27 FEB 2004)
     FILE 'REGISTRY' ENTERED AT 10:28:00 ON 27 FEB 2004
L1
                STRUCTURE UPLOADED
L2
            968 S L1 SSS FULL
     FILE 'CAPLUS' ENTERED AT 10:28:46 ON 27 FEB 2004
L3
             60 S L2
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             12 S L3 AND PIPERIDINE
L5
              4 S L3 AND MORPHOLINE AND THIOMORPHOLINE
L6
              4 S L3 AND THIOMORPHOLINE
L7
             15 S L3 AND MORPHOLINE
L8
              4 S L6 AND L7
=> s 13 and tetrahydoquinazoline
             0 L3 AND TETRAHYDOQUINAZOLINE
L9
=> s quinazoline and cGMP
            21 QUINAZOLINE AND CGMP
L10
=> s 110 and tetrahydro
             1 L10 AND TETRAHYDRO
=> d lll fbib hitstr abs total
L11
     ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     1988:570370 CAPLUS
DN
     109:170370
TΙ
     Inhibitors of cyclic AMP phosphodiesterase. 3. Synthesis and biological
     evaluation of pyrido and imidazolyl analogs of 1,2,3,5-tetrahydro
     -2-oxoimidazo[2,1-b] quinazoline
ΑU
     Venuti, Michael C.; Stephenson, Robert A.; Alvarez, Robert; Bruno, John
     J.; Strosberg, Arthur M.
CS
     Inst. Bio-Org. Chem., Syntex Research, Palo Alto, CA, 94304, USA
SO
     Journal of Medicinal Chemistry (1988), 31(11), 2136-45
    CODEN: JMCMAR; ISSN: 0022-2623
DT
     Journal
     English
LΑ
     CASREACT 109:170370
OS
GI
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AB Hybridization of structural elements of the 1,2,3,5-tetrahydro -2-oxoimidazo[2,1-b]quinazoline ring system common to the cyclic (cAMP) phosphodiesterase (PDE) inhibitors lixazinone (RS-82856, I) and anagrelide with complementary features of other PDE inhibitor cardiotonic agents prompted the design and synthesis of 8 title compds., e.g. II and The necessary features of these compds. were determined within the framework of the proposed active-site models for the high affinity form of cAMP PDE inhibited by cGMP (type IV). Evaluation of these targets, both in vitro as inhibitors of platelet or cardiac type IV PDE or in vivo as inotropic agents in the pentobarbital-anesthetized dog model of congestive heart failure, showed that these structures possessed negligibly enhanced activities over the parent heterocyclic system, and remained significantly inferior to I in all respects. This difference is ascribed to the absence of the N-cyclohexyl-N-methylbutyramidyl-4-oxy side chain of I. The proposal that the acidic lactam-type functionality, common to type IV PDE inhibitor inotropic agents, mimics and polarizable cyclic phosphate moiety of cAMP suggested that the side chain of I may function as an effective surrogate for selected characteristics of the adenine portion of cAMP. However, results show that incorporation of adenine-like H-bonding functionalities common to other type IIv PDE inhibitors into the 1,2,3,5-tetrahydro-2-oxoimidazo[2,1-b] quinazoline system did not enhance activity to the levels observed for I and analogs. These observations, coupled with the kinetic pattern of inhibition of type IV PDE observed for I and analogs, suggest that access to a secondary, lipophilic-tolerant binding site, possibly coincident with the adenine binding domain, and adjacent to the catalytic ribose-phosphate binding site of platelet and cardiac type IV PDE, is responsible for the increased potency of these compds.

Preparation of condensed heteroaryl derivatives as phosphatidylinositol

<2/27/2004>

=> d his

AN DN

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     FILE 'REGISTRY' ENTERED AT 10:28:00 ON 27 FEB 2004
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                STRUCTURE UPLOADED
L2
            968 S L1 SSS FULL
     FILE 'CAPLUS' ENTERED AT 10:28:46 ON 27 FEB 2004
L3
             60 S L2
L4
             12 S L3 AND PIPERIDINE
L5
              4 S L3 AND MORPHOLINE AND THIOMORPHOLINE
L6
              4 S L3 AND THIOMORPHOLINE
Ь7
             15 S L3 AND MORPHOLINE
1.8
             4 S L6 AND L7
              0 S L3 AND TETRAHYDOQUINAZOLINE
L9
L10
             21 S QUINAZOLINE AND CGMP
              1 S L10 AND TETRAHYDRO
L11
=> s tetrahydroguinazoline andcGMP
             0 TETRAHYDROQUINAZOLINE ANDCGMP
=> d 18 fbib hitstr abs total
    ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
1.8
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Patel

2001:816643 CAPLUS

135:344500

AB Title compds. [I; R1 = H, vinyl, allyl, haloalkyl, etc.; R2 = heteroaryl; R3 = H (n = 0), (halo)alkyl, cyclopropyl; R4 = (hetero)aryl; R5 = H, alkyl, acyl, etc.; W = O, S, SO; Z = O or S; n = 0 or 1] were prepared Thus, MeCR(CN)NCS (R = 2-phenyl-4-thiazolyl) (preparation from 4-acetyl-2-phenylthiazole given) was cyclocondensed with PhNHNH2 and the product treated with MeI/KOCMe3 to give iminoimidazoline II (W = NH) which was hydrolized to II (W = O). Data for fungicidal activity of selected I were given.

L13 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:31708 CAPLUS

DN 124:87034

TI Preparation of 2-phenylcycloalkanopyrimidine derivatives as antagonists of serotonin S2 receptor

IN Kataoka, Masahiro; Hino, Katsuhiko; Ochi, Yoshiaki

PA Dainippon Pharmaceutical Co, Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		- -			
ΡI	JP 07228573	A2	19950829	JP 1994-43076	19940216
	•			JP 1994-43076	19940216

OS MARPAT 124:87034

IT 172351-15-4P 172351-16-5P 172351-19-8P 172351-20-1P 172351-21-2P 172351-22-3P 172351-23-4P 172351-24-5P 172351-25-6P 172351-26-7P 172351-27-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (diazacycloalkyl)phenylcycloalkanopyrimidine derivs. as antagonists of serotonin S2 receptor)

RN 172351-15-4 CAPLUS

CN Quinazoline, 2-(4-fluorophenyl)-5,6,7,8-tetrahydro-4-(1-piperazinyl)-(9CI) (CA INDEX NAME)

RN 172351-16-5 CAPLUS

CN Quinazoline, 5,6,7,8-tetrahydro-2-phenyl-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 172351-19-8 CAPLUS

Quinazoline, 2-(4-fluorophenyl)-5,6,7,8-tetrahydro-4-(4-methyl-1-CNpiperazinyl) - (9CI) (CA INDEX NAME)

172351-20-1 CAPLUS RN

CN1-Piperazineethanol, 4-[2-(4-fluorophenyl)-5,6,7,8-tetrahydro-4quinazolinyl] - (9CI) (CA INDEX NAME)

RN

172351-21-2 CAPLUS Quinazoline, 2-(4-fluorophenyl)-5,6,7,8-tetrahydro-4-[4-(phenylmethyl)-1-CNpiperazinyl] - (9CI) (CA INDEX NAME)

RN 172351-22-3 CAPLUS

Quinazoline, 5,6,7,8-tetrahydro-4-(4-methyl-1-piperazinyl)-2-phenyl- (9CI) CN(CA INDEX NAME)

RN172351-23-4 CAPLUS

CN 1-Piperazineethanol, 4-(5,6,7,8-tetrahydro-2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)

RN

172351-24-5 CAPLUS Quinazoline, 5,6,7,8-tetrahydro-2-phenyl-4-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME) CN

RN 172351-25-6 CAPLUS
CN Quinazoline, 5,6,7,8-tetrahydro-2-phenyl-4-(4-phenyl-1-piperazinyl)- (9CI)
(CA INDEX NAME)

RN 172351-26-7 CAPLUS
CN Quinazoline, 2-(4-fluorophenyl)-5,6,7,8-tetrahydro-4-[4-[2-(4-nitrophenyl)ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN

172351-27-8 CAPLUS Benzenamine, 4-[2-[4-[2-(4-fluorophenyl)-5,6,7,8-tetrahydro-4-CNquinazolinyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

GΙ

$$R^1$$
 $CH_2)_m$
 R^2
 $CH_2)_n$
 R^3
 $CH_2)_n$
 R^3
 R^1
 R^1
 R^1
 R^1
 R^1
 R^2
 $CH_2)_n$
 R^2
 R^3

AB The title compds. [I; R1 = H, alkyl, cycloalkyl, hydroxyalkyl, cycloalkylalkyl, (un) substituted Ph or phenylalkyl; R2, R3 = H, halo, alkyl, alkoxy; R4 = H, alkyl; m = 2,3; n = 3-7], useful not only for the treatment of neg. schizophrenia with little extrapyramidal side effects and also serotonin-associated other central nervous system diseases such as anxiety, depression, Parkinson's disease, and sleep disorders, are prepared Thus, a mixture of 2.5 g 4-chloro-2-(4-fluoropheny1)-5,6,7,8,9,10hexahydrocyclooctapyrimidine, 2.2 g piperazine, and 5 mL DMSO was heated to reflux at 110° for 1 h to give the title compound (II; R1 = H, n = $\frac{1}{2}$ 6). II (R1 = Me, n = 5) and II (R1 = Me, n = 4) showed IC50 of 7.5 and

23.2 nM, resp., for inhibiting the binding of [3H]ketanserin to crude synaptosome membrane (serotonin S2 receptor) preparation from rat brain.

L13 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:716414 CAPLUS

DN 123:339969

TI Azolylquinazolines: synthesis and biological activity

AU Bodajla, M.; Stankovsky, S.; Spirkova, K.; Jantova, S.; Hudecova, D.

CS Faculty Chemical Technology, Slovak Technical University, Bratislava, SK-812 37, Slovakia

SO Chemical Papers (1994), 48(6), 432-6 CODEN: CHPAEG; ISSN: 0366-6352

PB Slovak Academy of Sciences, Institute of Chemistry

DT Journal

LA English

IT 153991-71-0P 170463-25-9P 170463-26-0P 170463-27-1P 170463-28-2P 170463-29-3P 170463-30-6P 170463-31-7P 170463-32-8P

study); PREP (Preparation)

170463-33-9P 170463-34-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

(synthesis and biol. activity of azolylquinazolines)

RN 153991-71-0 CAPLUS

CN Quinazoline, 4-(1H-benzimidazol-1-yl)-2-phenyl- (9CI) (CA INDEX NAME)

RN 170463-25-9 CAPLUS

CN Quinazoline, 4-(1H-imidazol-1-yl)-2-phenyl- (9CI) (CA INDEX NAME)

RN 170463-26-0 CAPLUS

CN Quinazoline, 2-phenyl-4-(1H-1,2,3-triazol-1-yl)- (9CI) (CA INDEX NAME)

Patel

RN 170463-27-1 CAPLUS

Quinazoline, 6-chloro-4-(1H-imidazol-1-yl)-2-phenyl- (9CI) (CA INDEX CN

RN

170463-28-2 CAPLUS Quinazoline, 4-(1H-benzimidazol-1-yl)-6-chloro-2-phenyl- (9CI) (CA INDEX CNNAME)

RN 170463-29-3 CAPLUS

Quinazoline, 6-chloro-2-phenyl-4-(1H-1,2,3-triazol-1-yl)- (9CI) (CA INDEX CNNAME)

10674350.1

Page 278

RN 170463-30-6 CAPLUS

CN Quinazoline, 4-(1H-benzotriazol-1-yl)-6-chloro-2-phenyl- (9CI) (CA INDEX NAME)

RN 170463-31-7 CAPLUS

CN Quinazoline, 6,8-dichloro-4-(1H-imidazol-1-yl)-2-phenyl- (9CI) (CA INDEX NAME)

RN 170463-32-8 CAPLUS

CN Quinazoline, 4-(1H-benzimidazol-1-yl)-6,8-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

RN 170463-33-9 CAPLUS

CN Quinazoline, 6,8-dichloro-2-phenyl-4-(1H-1,2,3-triazol-1-yl)- (9CI) (CA INDEX NAME)

RN 170463-34-0 CAPLUS
CN Quinazoline, 4-(1H-benzotriazol-1-yl)-6,8-dic

Quinazoline, 4-(1H-benzotriazol-1-yl)-6,8-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

AB Preparation of some 2-phenyl-4-(azol-1-yl)quinazolines by reaction of the corresponding chloroquinazolines with the sodium salts of azoles is described. The IR, UV, and 1H NMR spectra and the preliminary screening of biol. activity of final products are presented.

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L13 7 S L3 AND 2-PHENYL